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European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
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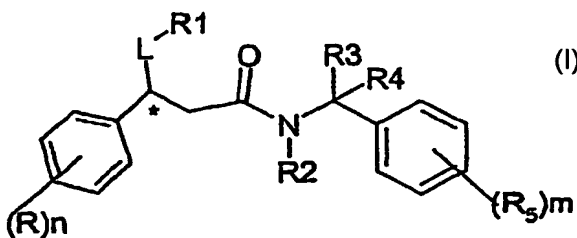
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For two-letter codes and other abbreviations, refer to the "Guid-
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ning of each regular issue of the PCT Gazette.

(54) Title: N-BENZYL-3-PHENYL-3-HETEROCYCLYL-PROPIONAMIDE COMPOUNDS AS TACHYKININ AND/ OR
SEROTONIN REUPTAKE INHIBITORS



(57) Abstract: The present invention relates to heterocyclic derivatives of formula (1) wherein R₁ represents a 5 or 6 membered heteroaryl group, in which the 5-membered heteroaryl group contains at least one heteroatom selected from oxygen, sulphur or nitrogen and the 6-membered heteroaryl group contains from 1 to 3 nitrogen atoms, or R₁ represents a 4,5 or 6 membered heterocyclic group, wherein said 5 or 6 membered heteroaryl or the 4,5 or 6 membered heterocyclic group may optionally be substituted by one to three substituents, which may be the same or different, selected from (CH₂)_pR₆, wherein p is

zero or an integer from 1 to 4 and wherein R and R₂-R₆ are each as defined in the description and pharmaceutically acceptable salts and solvates thereof; process for their preparation and their use in the treatment of conditions mediated by tachykinins and/or by selective inhibition of serotonin reuptake transporter protein.

INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07D211/14 C07D211/38 C07D207/20 C07D211/70 C07D207/06 C07D265/30 C07D213/16 A61K31/40 A61K31/445 A61K31/44 A61K31/535 A61P25/00 A61P29/00 A61P1/08					
According to International Patent Classification (IPC) or to both national classification and IPC					
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 7 C07D A61K					
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched					
Electronic data base consulted during the international search (name of data base and, where practical, search terms used) CHEM ABS Data, EPO-Internal					
C. DOCUMENTS CONSIDERED TO BE RELEVANT					
Category *	Citation of document, with indication, where appropriate, of the relevant passages				Relevant to claim No.
A	WO 93 01169 A (MERCK SHARP & DOHME) 21 January 1993 (1993-01-21) page 19, line 22 -page 21, line 17; claim 1; examples 25-27 ---				1-13
A	WO 94 04494 A (WARNER LAMBERT CO) 3 March 1994 (1994-03-03) page 3, line 24 -page 6, line 14; example 9 ---				1-13
A	JP 04 089478 A (SUNTORY K. K., JAPAN;ZENKOKU NOGYO KYODO KUMIA RENGOKAI) 23 March 1992 (1992-03-23) see abstract andd compounds 40-44 on p.557 --- -/--				1
<div style="display: flex; justify-content: space-between;"> <input checked="" type="checkbox"/> Further documents are listed in the continuation of box C. <input checked="" type="checkbox"/> Patent family members are listed in annex. </div>					
<div style="display: flex;"> <div style="flex: 1;"> <p>* Special categories of cited documents :</p> <p>*A* document defining the general state of the art which is not considered to be of particular relevance</p> <p>*E* earlier document but published on or after the international filing date</p> <p>*L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>*O* document referring to an oral disclosure, use, exhibition or other means</p> <p>*P* document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="flex: 1;"> <p>*T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>*X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>*Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>*G* document member of the same patent family</p> </div> </div>					
Date of the actual completion of the international search <div style="text-align: center; font-weight: bold;">1 October 2003</div>			Date of mailing of the international search report <div style="text-align: center; font-weight: bold;">23/10/2003</div>		
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016			Authorized officer <div style="text-align: center; font-weight: bold;">Schuemacher, A</div>		

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>MULLER G W ET AL: "STRUCTURAL MODIFICATIONS OF THALIDOMIDE PRODUCE ANALOGS WITH ENHANCED TUMOR NECROSIS FACTOR INHIBITORY ACTIVITY" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 39, no. 17, 16 August 1996 (1996-08-16), pages 3238-3240, XP002064438 ISSN: 0022-2623 table 2, compound 18</p>	1-5
A	<p>MARK D.E.: J.MED.CHEMISTRY, vol. 36, no. 24, 1993, pages 3771-3783, XP002255002 scheme IV p3379, compound 25</p>	1-5

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Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 11 and 13 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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